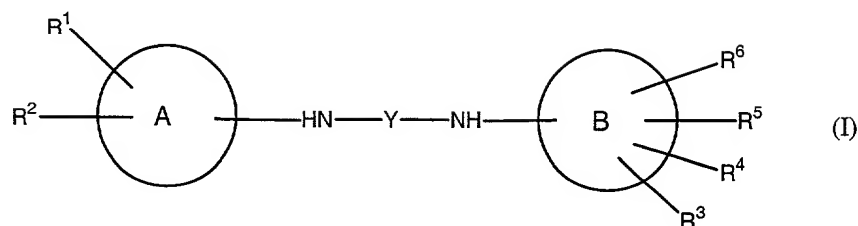


We claim:

1. Compounds of the formula (I)

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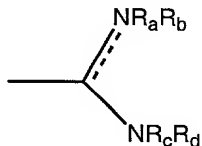
or a salt thereof, where

10 Y is C=O, C=S, C=NH, (C=O)<sub>2</sub> or SO<sub>2</sub>;

(A) and (B) are each independently an aromatic hydrocarbon group which optionally contains one or more heteroatoms selected from the group consisting of S, O, and N, wherein the heteroatom N is optionally substituted with R', and/or the heteroatom S is optionally bonded to =O or (=O)<sub>2</sub>;

15 R' is hydrogen, hydroxyalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl or an unsaturated or saturated carbocyclic group selected from the group consisting of cyclopentyl, cyclohexyl, aryl and heteroaryl;

25 R<sup>1</sup> is



where R<sub>a</sub> and R<sub>c</sub> are each independently hydrogen, -O-(CO)-R' (where R' is as defined above), hydroxyl,

- hydroxyalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl or an unsaturated or saturated carbocyclic group selected from the group consisting of cyclopentyl, cyclohexyl, aryl, heteroaryl;  $R_b$  is an optional substituent which may be independent of  $R_a$  and  $R_c$  and may be selected from the group as defined above for  $R_a$  and  $R_c$ ;  $R_d$  is hydrogen or one of the following groups:
- 5  $-(CO)-R_e$  where  $R_e$  is independently hydrogen, alkoxy, alkylthio, halogen, haloalkyl, haloalkyloxy, hydroxyalkyl, hydroxyalkylamino, alkyl, aryl, heteroaryl, amino, aminoalkyl or alkylamino group;
- 10  $-(CH_2)_n-R_f$  where  $R_f$  is independently hydrogen, a hydroxy-alkyl, an alkyl, an allyl, an amino, an alkylamino, a morpholino, 2-tetrahydrofuran, N-pyrrolidino, a 3-pyridyl, a phenyl, a benzyl, a biphenyl or another heterocyclic group and  $n$  is 0, 1, 2 or 3;
- 15  $-NR_aR_b$  where  $R_a$  and  $R_b$  are defined above; or  $R_a$  forms together with  $R_b$  a 5- or 6- membered unsaturated or saturated heterocyclic ring which optionally has 0 to 3 substituents  $R''$ ;
- 20 the dotted line means a double bond unless there is a substituent  $R_b$  in the formula of  $R^1$  as defined above.
- 25

$R''$  is independently hydrogen, alkoxy, alkylthio, aminoalkyl, halogen,  $-CO_2R'$ ,  $-CR'O$ , haloalkyl, haloalkyloxy,  $-NO_2$ ,  $-CN$ , hydroxyalkyl, alkyl, aryl, heteroaryl, amino, alkylamino or aminoalkyl group or a double bonded oxygen, wherein  $R'$  is as defined above;

30

35  $R^2$  is a hydrogen, a halogen, alkoxy, alkylthio,  $-CO_2R'$ ,  $-CR'O$ , haloalkyl, haloalkyloxy,  $-NO_2$ ,  $-CN$ ,

hydroxy, hydroxyalkyl, alkyl, aryl, amino, alkylamino or an aminoalkyl group;

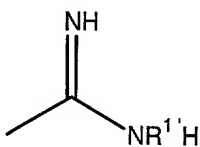
5  $R^3$  is a hydrogen, a halogen, haloalkyl,  $-NO_2$ ,  $-CN$ , an alkyl or an aryl group;

10  $R^4$  is a hydrogen or a group capable of hydrogen bond formation except for a group as defined for substituent  $R^1$ ;

$R^5$  is hydrogen or, independently of  $R^4$ , a group selected from the groups as defined above for  $R^4$

15  $R^6$  is hydrogen or, independently of  $R^2$ , a group selected from the groups as defined above for  $R^2$ ; and

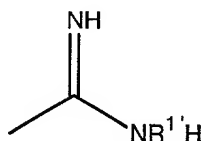
20 with the proviso that the compounds of the formula (I) are not compounds in which Y is equal to  $C=O$ , both (A) and (B) are a phenyl group, and  $R^1$  is the group



25 where  $R^{1'}$  is hydrogen or phenyl,  $R^2$ ,  $R^3$ ,  $R^5$ , and  $R^6$  are identical and are hydrogen and  $R^4$  is phenyl, benzyl, phenoxy, chloro or a dimethylamino group in the 3- or 4-position to the  $NH-Y-NH$  group of formula (I);

30 and compounds in which (A) and (B) are phenyl and  $R^4$ ,  $R^5$  or  $R^6$  are in the ortho-position to the  $NH-Y-NH$  group of formula (I).

2. The compounds according to Claim 1 with the proviso that the compounds of the formula (I) are not compounds in which Y is equal to C=O, (B) is a benzofuranyl, dibenzofuranyl, 1-alkylindol or aryl (optionally substituted by alkyl, halogen, trihaloalkoxy or N,N-dialkylamino) and R<sup>1</sup> is the group



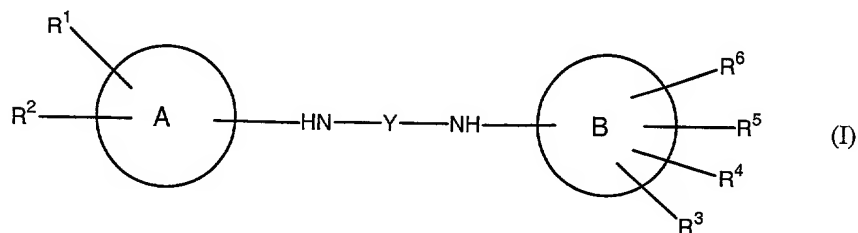
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where R<sup>1</sup> is hydrogen, alkyl, acyl, aryl, 1-alkylindolyl or alkylthio.

3. The compounds according to Claim 1, wherein (A) and (B) are both a phenyl group.
4. The compounds according to claim 1, wherein R<sup>2</sup>, R<sup>3</sup>, R<sup>5</sup> and/or R<sup>6</sup> are hydrogen.
5. The compounds according to claim 1, wherein R<sup>1</sup> is an optionally substituted or cyclic amidine.
6. The compounds according to claim 1, wherein R<sub>a</sub> and/or R<sub>c</sub> are hydrogen and/or R<sub>b</sub> is not present.
7. The compounds according to claim 1, wherein R<sup>4</sup> is an arylsulphone, sulphonamide, alkylsulphonamide, arylsulphonamide, alkylsulphone or arylalkylsulfonamide where the substituents are independently one or more of the following groups: hydrogen, halogen, haloalkyl, haloalkoxy, CONRR',

$\text{SO}_2\text{NRR}'$ ,  $\text{CO}_2\text{R}$  and sulphonamide, where R and R' independently are as defined above.

8. The compounds according to claim 1 as a  
5 medicament.
9. A process for the preparation of a compound according to Claim 1.
10. A method of using a compound according to formula  
(I)



15 or a salt thereof, where

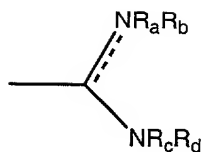
Y is  $\text{C=O}$ ,  $\text{C=S}$ ,  $\text{C=NH}$ ,  $(\text{C=O})_2$  or  $\text{SO}_2$ ;

20 (A) and (B) are each independently an aromatic hydrocarbon group which optionally contains one or more heteroatoms selected from the group consisting of S, O and N, wherein the heteroatom N is optionally substituted with R', and/or the heteroatom S is optionally bonded to  $=\text{O}$  or  $(=\text{O})_2$ ;

25 R' is hydrogen, hydroxyalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl or an unsaturated or saturated carbocyclic group selected from the group consisting of cyclopentyl, cyclohexyl, aryl, and heteroaryl;

30

$R^1$  is

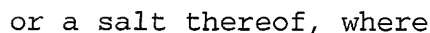


- where  $R_a$  and  $R_c$  are each independently hydrogen, -O-
- 5 (CO)- $R'$  (where  $R'$  is as defined above), hydroxyl, hydroxyalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl or an unsaturated or saturated carbocyclic group selected from the group consisting of cyclopentyl, cyclohexyl, aryl,
- 10 heteroaryl;  $R_b$  is an optional substituent which may be independently of  $R_a$  and  $R_c$  and may be selected from the group as defined above for  $R_a$  and  $R_c$ ;  $R_d$  is hydrogen or one of the following groups:
- 15 -(CO)- $R_e$  where  $R_e$  is independently hydrogen, alkoxy, alkylthio, halogen, haloalkyl, haloalkyloxy, hydroxyalkyl, hydroxyalkylamino, alkyl, aryl, heteroaryl, amino, aminoalkyl or alkylamino group;
- 20 -(CH<sub>2</sub>)<sub>n</sub>- $R_f$  where  $R_f$  is independently hydrogen, a hydroxy-alkyl, an alkyl, an allyl, an amino, an alkylamino, a morpholino, 2-tetrahydrofuran, N-pyrrolidino, a 3-pyridyl, a phenyl, a benzyl, a biphenyl or another heterocyclic group and  $n$  is 0,
- 25 1, 2 or 3;
- NR<sub>a</sub>R<sub>b</sub> where  $R_a$  and  $R_b$  are defined above;
- or  $R_a$  forms together with  $R_c$  a 5- or 6-membered unsaturated or saturated heterocyclic ring which optionally has 0 to 3 substituents  $R''$ ;
- 30 the dotted line means a double bond unless there is a substituent  $R_b$  in the formula of  $R^1$  as defined above.

- 5  $R''$  is independently hydrogen, alkoxy, alkylthio, aminoalkyl halogen,  $-CO_2R'$ ,  $-CR'O$ , haloalkyl, haloalkyloxy,  $-NO_2$ ,  $-CN$ , hydroxyalkyl, alkyl, aryl, heteroaryl, amino, alkylamino or an aminoalkyl group or a double bonded oxygen, wherein  $R'$  is as defined above;
- 10  $R^2$  is a hydrogen, a halogen, alkoxy, alkylthio,  $-CO_2R'$ ,  $-CR'O$ , haloalkyl, haloalkyloxy,  $-NO_2$ ,  $-CN$ , hydroxy, hydroxyalkyl, alkyl, aryl, amino, alkylamino or an aminoalkyl group;
- 15  $R^3$  is a hydrogen, a halogen, haloalkyl,  $-NO_2$ ,  $-CN$ , alkyl or an aryl group;
- $R^4$  is a hydrogen or a group capable of hydrogen bond formation except for a group as defined for substituent  $R^1$ ;
- 20  $R^5$  is hydrogen or, independently of  $R^4$ , a group selected from the groups as defined above for  $R^4$
- 25  $R^6$  is hydrogen or, independently of  $R^2$ , a group selected from the groups as defined above for  $R^2$ ;
- for the preparation of a medicament for the inhibition of the intracellular protein-degradation pathway.
- 30 11. The method according to Claim 10 for the preparation of a medicament for the treatment of diseases which are cured or relieved by the inhibition of the proteasome pathway.
- 35 12. The method according to Claim 10 for the preparation of a medicament for the treatment of diseases which are cured or relieved by the

13. The method according to Claim 10, wherein the  
5 compounds are as defined in Claim 1.

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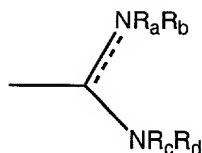
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25

 $R^1$  is





where  $R_a$  and  $R_c$  are each independently hydrogen, -O-(CO)- $R'$  (where  $R'$  is as defined above), hydroxyl, hydroxyalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl or an unsaturated or saturated carbocyclic group selected from the group consisting of cyclopentyl, cyclohexyl, aryl, heteroaryl;  $R_b$  is an optional substituent which may be independent of  $R_a$  and  $R_c$  and may be selected from the group as defined above for  $R_a$  and  $R_c$ ;  $R_d$  is hydrogen or one of the following groups:

- (CO)- $R_e$  where  $R_e$  is independently hydrogen, alkoxy, alkylthio, halogen, haloalkyl, haloalkyloxy, hydroxyalkyl, hydroxyalkylamino, alkyl, aryl, heteroaryl, amino, aminoalkyl or alkylamino group;
- (CH<sub>2</sub>)<sub>n</sub>- $R_f$  where  $R_f$  is independently hydrogen, a hydroxy-alkyl, an alkyl, an allyl, an amino, an alkylamino, a morpholino, 2-tetrahydrofuran, N-pyrrolidino, a 3-pyridyl, a phenyl, a benzyl, a biphenyl or another heterocyclic group and  $n$  is 0, 1, 2 or 3;
- NR<sub>a</sub>R<sub>b</sub> where  $R_a$  and  $R_b$  are defined above;

or  $R_a$  forms together with  $R_d$  a 5- or 6-membered unsaturated or saturated heterocyclic ring which optionally has 0 to 3 substituents  $R''$ ;

the dotted line means a double bond unless there is a substituent  $R_b$  in the formula of  $R^1$  as defined above.

R'' is independently hydrogen, alkoxy, alkylthio, aminoalkyl halogen, -CO<sub>2</sub>R', -CR'O, haloalkyl, haloalkyloxy, -NO<sub>2</sub>, -CN, hydroxyalkyl, alkyl, aryl, heteroaryl, amino, alkylamino or aminoalkyl group or a double bonded oxygen, wherein R' is as defined above;

R<sup>2</sup> is a hydrogen, a halogen, alkoxy, alkylthio, -CO<sub>2</sub>R', -CR'O, haloalkyl, haloalkyloxy, -NO<sub>2</sub>, -CN, hydroxy, hydroxyalkyl, alkyl, aryl, amino, alkylamino or an aminoalkyl group;

R<sup>3</sup> is a hydrogen, a halogen, haloalkyl, -NO<sub>2</sub>, -CN, alkyl or an aryl group;

R<sup>4</sup> is a hydrogen or a group capable of hydrogen bond formation except for a group as defined for substituent R<sup>1</sup>;

R<sup>5</sup> is hydrogen or, independently of R<sup>4</sup>, a group selected from the groups as defined above for R<sup>4</sup>

R<sup>6</sup> is hydrogen or, independently of R<sup>2</sup>, a group selected from the groups as defined above for R<sup>2</sup>;

with the proviso that the compounds of the formula (I) are not compounds in which (A) and (B) are phenyl and R<sup>4</sup>, R<sup>5</sup> or R<sup>6</sup> are in the ortho-position to the NH-Y-NH group of the formula(I);

for the preparation of a medicament for the treatment of diseases caused by protozoa.

15. The method according to Claim 14, wherein the compounds are as defined in Claim 1.

16. The method according to Claim 14 for the treatment of malaria diseases, trypanosomiasis and/or leishmaniasis.
- 5 17. A method for killing or inhibiting growth or replication of protozoa using a compound according to Claim 1.
- 10 18. A pharmaceutical composition comprising at least one compound according to Claim 1 in combination with other active compounds.